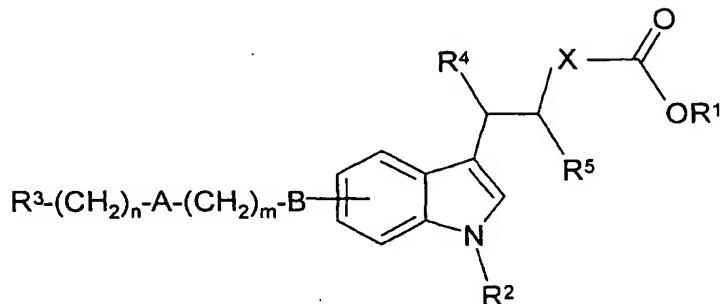


**Patent Claims**

We Claim:

5 1. A compound of the formula I



in which

A and B are each, independently of one another, O, S, NH, NR<sup>7</sup>, CO, CONH, NHCO or a direct bond,

10 X is alkylene having 1 to 2 carbon atoms which is unsubstituted or monosubstituted by R<sup>4</sup> or R<sup>5</sup>, or a direct bond,

R<sup>1</sup> is H, Z or -(CH<sub>2</sub>)<sub>o</sub>-Ar,

R<sup>2</sup> is H, R<sup>7</sup> or -C(O)Z,

R<sup>3</sup> is NHR<sup>6</sup>, -NR<sup>6</sup>-C(=NR<sup>6</sup>)-NHR<sup>6</sup>, -C(=NR<sup>6</sup>)-NHR<sup>6</sup>,  
15 -NR<sup>6</sup>-C(=NR<sup>9</sup>)-NHR<sup>6</sup>, Het<sup>1</sup> or -C(=NR<sup>9</sup>)-NHR<sup>6</sup>,

R<sup>4</sup> and R<sup>5</sup> are each, independently of one another, H, oxo, R<sup>7</sup>, -(CH<sub>2</sub>)<sub>o</sub>-Ar, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-Ar, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-R<sup>7</sup>, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-Het, Het, NHR<sup>6</sup>, NHAr, NH-Het, CONH-R<sup>7</sup>, CONH-(CH<sub>2</sub>)<sub>o</sub>-Ar, CONH-(CH<sub>2</sub>)<sub>o</sub>-Het, OR<sup>7</sup>, OAr, OR<sup>6</sup> or O-Het,

20 R<sup>6</sup> is H, -C(O)R<sup>7</sup>, -C(O)-Ar, -C(O)-Het, R<sup>7</sup>, COOR<sup>7</sup>, COO-(CH<sub>2</sub>)<sub>o</sub>-Ar, COO-(CH<sub>2</sub>)<sub>o</sub>-Het, SO<sub>2</sub>-Ar, SO<sub>2</sub>R<sup>7</sup> or SO<sub>2</sub>-Het,

R<sup>7</sup> is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,

R<sup>8</sup> is Hal, NO<sub>2</sub>, CN, Z, -(CH<sub>2</sub>)<sub>o</sub>-Ar, COOR<sup>1</sup>, OR<sup>1</sup>, CF<sub>3</sub>, OCF<sub>3</sub>, SO<sub>2</sub>R<sup>1</sup>,  
25 NHR<sup>1</sup>, N(R<sup>1</sup>)<sub>2</sub>, NH-C(O)R<sup>1</sup>, NHCOOR<sup>1</sup>, COOH, COOZ or C(O)R<sup>1</sup>,

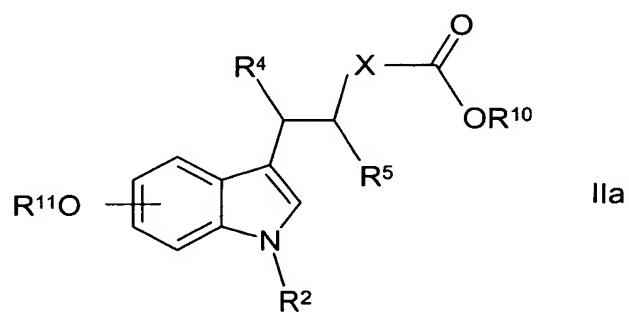
R<sup>9</sup> is CN or NO<sub>2</sub>,

Z is alkyl having 1 to 6 carbon atoms,

- Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R<sup>8</sup>,
- Hal is F, Cl, Br or I,
- Het is a saturated, partially or fully unsaturated monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms may be present and the heterocyclic radical may be monosubstituted or disubstituted by R<sup>8</sup>,
- 5 Het<sup>1</sup> is a monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members and 1 to 4 N atoms each of which may be unsubstituted or monosubstituted or disubstituted by Hal, R<sup>7</sup>, OR<sup>7</sup>, CN, NHZ, oxo or NO<sub>2</sub>,
- 10 n is 0, 1 or 2,
- m is 0, 1, 2, 3, 4, 5 or 6, and
- o is 0, 1 or 2,
- 15 and physiologically acceptable salts and solvates thereof.
2. An enantiomer of a compound according to Claim 1.
3. A compound according to Claim 1, wherein X is a direct bond.
- 20 4. A compound according to Claim 1, wherein
- B is O,
- R<sup>4</sup> is R<sup>7</sup>, (CH<sub>2</sub>)<sub>o</sub>-Ar or Het,
- o is 0 or 1,
- 25 R<sup>5</sup> is H, and
- R<sup>7</sup> is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms.
- 30 5. A compound according to Claim 1, selected from,
- a) 3-phenyl-3-{6-[3-(pyridin-2-ylamino)propoxy]-1H-indol-3-yl} propionic acid;

- b) 3-phenyl-3-[6-(pyridin-2-ylamidocarboxymethoxy)indol-3-yl] propionic acid;
  - c) 3-phenyl-3-[6-(benzimidazol-2-ylamidocarboxymethoxy)indol-3-yl] propionic acid;
  - 5 d) 3-phenyl-3-[6-(imidazol-2-ylamidocarboxymethoxy)indol-3-yl] propionic acid;
  - e) 3-{6-[3-(4,5-dihydro-1H-imidazol-2-ylamino)propoxy]-1H-indol-3-yl}-3-phenylpropionic acid;
  - f) 3-phenyl -3-[6-[3-(guanidinopropoxy]indol-3-yl}propionic acid;
  - 10 g) 3-(benzo[1,2,5]thiadiazol-5-yl)-3-{6-[2-(6-methylamino-pyridin-2-yl)-ethyloxy]-indol-3-yl}-propionic acid;  
and physiologically acceptable salts and solvates thereof.
6. A process for the preparation of a compound according to Claim 1 and  
15 its salts and solvates, wherein
- a) a compound of the formula I is liberated from one of its functional derivatives by treatment with a solvolyzing or hydrogenolyzing agent,
- or
- 20 b) a radical R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and/or R<sup>6</sup> is converted into another radical R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and/or R<sup>6</sup>,  
by
    - i) converting an amino group into a guanidino group by reaction with an amidating agent,
    - 25 ii) saponifying an ester,
    - iii) alkylating or acylating an amino group,
    - iv) converting a cyano group into an amidino group,
- and/or a base or acid of the formula I is converted into one of its salts.
- 30 7. A therapeutic active ingredient comprising a compound according to Claim 1 and physiologically acceptable salts or solvates thereof.

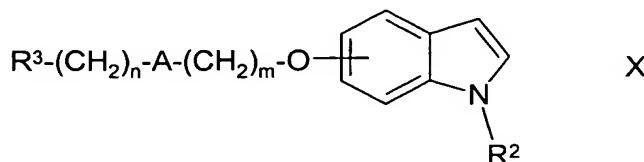
8. An integrin inhibitor comprising a compound according to Claim 1 and physiologically acceptable salts or solvates thereof.
9. A pharmaceutical preparation, comprising at least one compound according to Claim 1 and/or physiologically acceptable salts or solvates thereof.
10. A process for the preparation of a medicament comprising admixing a compound of according to Claim 1 and/or physiologically acceptable salts or solvates thereof with at least one solid, liquid, or semi-liquid excipient or auxiliary or optionally, one or more other active ingredient.
11. A method of treating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, rheumatic arthritis, macular degenerative disease, diabetic retinopathy, a tumour by inhibition of metastasis, a tumour by initiation of apoptosis, tumour induced angiogenesis disease, osteoporosis, and/or infections and restenosis after angioplasty comprising administering to a patient in need thereof a compound according to Claim 1 and/or physiologically acceptable salts or solvates thereof.
12. Compounds of the formula IIa



in which R<sup>2</sup>, R<sup>4</sup> and R<sup>5</sup> are as defined in Claim 1,  
25 R<sup>1</sup> is H, Z or -(CH<sub>2</sub>)<sub>6</sub>-Ar,  
R<sup>2</sup> is H, R<sup>7</sup> or -C(O)Z,

- R<sup>4</sup> and R<sup>5</sup> are each, independently of one another, H, oxo, R<sup>7</sup>, -(CH<sub>2</sub>)<sub>o</sub>-Ar, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-Ar, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-R<sup>7</sup>, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-Het, Het, NHR<sup>6</sup>, NHAr, NH-Het, CONH-R<sup>7</sup>, CONH-(CH<sub>2</sub>)<sub>o</sub>-Ar, CONH-(CH<sub>2</sub>)<sub>o</sub>-Het, OR<sup>7</sup>, OAr, OR<sup>6</sup> or O-Het,
- 5 R<sup>6</sup> is H, -C(O)R<sup>7</sup>, -C(O)-Ar, -C(O)-Het, R<sup>7</sup>, COOR<sup>7</sup>, COO-(CH<sub>2</sub>)<sub>o</sub>-Ar, COO-(CH<sub>2</sub>)<sub>o</sub>-Het, SO<sub>2</sub>-Ar, SO<sub>2</sub>R<sup>7</sup> or SO<sub>2</sub>-Het,
- R<sup>7</sup> is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,
- 10 R<sup>8</sup> is Hal, NO<sub>2</sub>, CN, Z, -(CH<sub>2</sub>)<sub>o</sub>-Ar, COOR<sup>1</sup>, OR<sup>1</sup>, CF<sub>3</sub>, OCF<sub>3</sub>, SO<sub>2</sub>R<sup>1</sup>, NHR<sup>1</sup>, N(R<sup>1</sup>)<sub>2</sub>, NH-C(O)R<sup>1</sup>, NHCOOR<sup>1</sup>, COOH, COOZ or C(O)R<sup>1</sup>,
- Het is a saturated, partially or fully unsaturated monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms may be present and the heterocyclic radical may be monosubstituted or disubstituted by R<sup>8</sup>,
- 15 Z is alkyl having 1 to 6 carbon atoms,
- Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R<sup>8</sup>,
- Hal is F, Cl, Br or I,
- X is a bond, and
- 20 R<sup>10</sup> and R<sup>11</sup> are each, independently of one another, a hydroxyl-protecting group or H.

13. Compounds of the formula X



- 25 in which
- A is O, S, NH, NR<sup>7</sup>, CO, CONH, NHCO or a direct bond,
- R<sup>1</sup> is H, Z or -(CH<sub>2</sub>)<sub>o</sub>-Ar,
- R<sup>2</sup> is H, R<sup>7</sup> or -C(O)Z,
- 30 R<sup>3</sup> is NHR<sup>6</sup>, -NR<sup>6</sup>-C(=NR<sup>6</sup>)-NHR<sup>6</sup>, -C(=NR<sup>6</sup>)-NHR<sup>6</sup>, -NR<sup>6</sup>-C(=NR<sup>9</sup>)-NHR<sup>6</sup>, Het<sup>1</sup> or -C(=NR<sup>9</sup>)-NHR<sup>6</sup>,

- R<sup>6</sup> is H, -C(O)R<sup>7</sup>, -C(O)-Ar, -C(O)-Het, R<sup>7</sup>, COOR<sup>7</sup>, COO-(CH<sub>2</sub>)<sub>o</sub>-Ar, COO-(CH<sub>2</sub>)<sub>o</sub>-Het, SO<sub>2</sub>-Ar, SO<sub>2</sub>R<sup>7</sup> or SO<sub>2</sub>-Het,
- R<sup>7</sup> is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,
- 5 R<sup>8</sup> is Hal, NO<sub>2</sub>, CN, Z, -(CH<sub>2</sub>)<sub>o</sub>-Ar, COOR<sup>1</sup>, OR<sup>1</sup>, CF<sub>3</sub>, OCF<sub>3</sub>, SO<sub>2</sub>R<sup>1</sup>, NHR<sup>1</sup>, N(R<sup>1</sup>)<sub>2</sub>, NH-C(O)R<sup>1</sup>, NHCOOR<sup>1</sup>, COOH, COOZ or C(O)R<sup>1</sup>,
- R<sup>9</sup> is CN or NO<sub>2</sub>,
- Z is alkyl having 1 to 6 carbon atoms,
- Ar is aryl which is unsubstituted or monosubstituted or polysubstituted
- 10 by R<sup>8</sup>,
- Hal is F, Cl, Br or I,
- Het<sup>1</sup> is a monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members and 1 to 4 N atoms each of which may be unsubstituted or monosubstituted or disubstituted by Hal, R<sup>7</sup>, OR<sup>7</sup>, CN, NHZ, oxo or
- 15 NO<sub>2</sub>,
- n is 0, 1 or 2,
- m is 0, 1, 2, 3, 4, 5 or 6, and
- o is 0, 1 or 2,
- and physiologically acceptable salts and solvates thereof.
- 20
14. A compound according to Claim 1, wherein
- X is a bond,
- B is O,
- 25 R<sup>1</sup> is H,
- R<sup>4</sup> is Het,
- A is a bond,
- and
- R<sup>3</sup> is Het<sup>1</sup>.
- 30
15. A compound according to claim 14, wherein Het<sup>1</sup> is pyridine which may be substituted by NHZ where Z is alkyl having 1 to 6 carbon atoms.
16. A compound according to claim 14, wherein R<sup>4</sup> is benzothiadiazole.

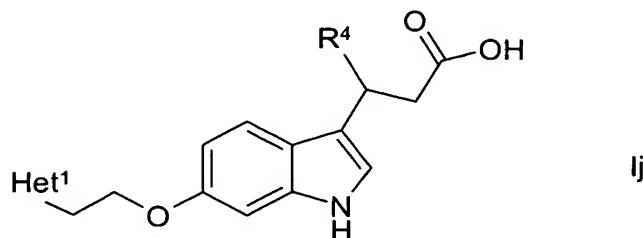
17. A compound according to claim 1, which is 3-(benzo[1,2,5]thiadiazol-5-yl)-3-{6-[2-(6-methylamino-pyridin-2-yl)-ethoxy]-indol-3-yl}-propionic acid.

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18. A compound according to claim 1, in racemic form.

19. A compound according to claim 1, in the form of substantially only one of its enantiomers.

10 20. A compound of the formula Ij



in which

R<sup>3</sup> is NHR<sup>6</sup>, -NR<sup>6</sup>-C(=NR<sup>6</sup>)-NHR<sup>6</sup>, -C(=NR<sup>6</sup>)-NHR<sup>6</sup>,  
-NR<sup>6</sup>-C(=NR<sup>9</sup>)-NHR<sup>6</sup>, Het<sup>1</sup> or -C(=NR<sup>9</sup>)-NHR<sup>6</sup>,

R<sup>4</sup> is H, oxo, R<sup>7</sup>, -(CH<sub>2</sub>)<sub>o</sub>-Ar, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-Ar, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-R<sup>7</sup>, -C(O)-(CH<sub>2</sub>)<sub>o</sub>-Het, Het, NHR<sup>6</sup>, NHAr, NH-Het, CONH-R<sup>7</sup>, CONH-(CH<sub>2</sub>)<sub>o</sub>-Ar, CONH-(CH<sub>2</sub>)<sub>o</sub>-Het, OR<sup>7</sup>, OAr, OR<sup>6</sup> or O-Het,

R<sup>6</sup> is H, -C(O)R<sup>7</sup>, -C(O)-Ar, -C(O)-Het, R<sup>7</sup>, COOR<sup>7</sup>, COO-(CH<sub>2</sub>)<sub>o</sub>-Ar, COO-(CH<sub>2</sub>)<sub>o</sub>-Het, SO<sub>2</sub>-Ar, SO<sub>2</sub>R<sup>7</sup> or SO<sub>2</sub>-Het,

R<sup>7</sup> is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,

R<sup>8</sup> is Hal, NO<sub>2</sub>, CN, Z, -(CH<sub>2</sub>)<sub>o</sub>-Ar, COOR<sup>1</sup>, OR<sup>1</sup>, CF<sub>3</sub>, OCF<sub>3</sub>, SO<sub>2</sub>R<sup>1</sup>, NHR<sup>1</sup>, N(R<sup>1</sup>)<sub>2</sub>, NH-C(O)R<sup>1</sup>, NHCOOR<sup>1</sup>, COOH, COOZ or C(O)R<sup>1</sup>,

R<sup>9</sup> is CN or NO<sub>2</sub>,

Z is alkyl having 1 to 6 carbon atoms,

Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R<sup>8</sup>,

Hal is F, Cl, Br or I,

Het is a saturated, partially or fully unsaturated monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms may be present and the heterocyclic radical may be monosubstituted or disubstituted by R<sup>8</sup>,

5 Het<sup>1</sup> is a monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members and 1 to 4 N atoms each of which may be unsubstituted or monosubstituted or disubstituted by Hal, R<sup>7</sup>, OR<sup>7</sup>, CN, NHZ, oxo or NO<sub>2</sub>,

10 o is 0, 1 or 2,

and physiologically acceptable salts and solvates thereof.

21. A pharmaceutical composition comprising a compound of claim 17 and a pharmaceutically acceptable carrier.

15 22. A method of treating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, rheumatic arthritis, macular degenerative disease, diabetic retinopathy, a tumour by inhibition of metastasis, a tumour by initiation of apoptosis, tumour induced angiogenesis disease, osteoporosis, and/or infections and restenosis after angioplasty comprising administering to a patient in need thereof a compound according to Claim 17 and/or physiologically acceptable salts or solvates thereof.

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